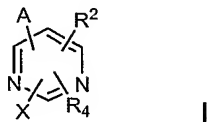


## WHAT IS CLAIMED IS:

1. A compound of Formula I:



- 5 wherein X is aryl substituted by  $R^{1a}$ ,  $R^{1b}$ ,  $R^{1c}$ ,  $R^{1d}$ , and  $R^{1e}$ ;  
 wherein A is selected from the group consisting of cycloalkyl, cycloalkenyl, aryl, heterocycloalkyl, heterocycloalkenyl, and heteroaryl, wherein A is optionally substituted by one or more substituents independently selected from the group consisting of  $R^3$ ;
- 10 wherein  $R^{1a}$ ,  $R^{1b}$ ,  $R^{1c}$ ,  $R^{1d}$ ,  $R^{1e}$ , and  $R^3$  are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, alkyl, haloalkyl, hydroxyalkyl, alkylsulfinyl, alkylsulfonyl, alkoxycarbonyl, haloalkoxy, aryl, alkenyl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, acylamino,  $-OR^{10}$ ,  $-SR^{7a}$ ,  $-SO_2N(R^{7a})R^{7b}$ ,  $-NR^{8a}R^{8b}$ ,  $-NR^{8a}COR^{8c}$ ,  $-NR^{8a}CO(OR^{8c})$ ,  $-NR^{8a}SO_2R^{9a}$ ,  $-NR^{8a}SO_2N(R^{9a})R^{9b}$ ,  $-NR^{8a}CON(R^{9a})R^{9b}$ ,  $-COR^{8a}$ ,  $-CO_2R^{7a}$ , and  $-CON(R^{7a})R^{7b}$ ,  
 15 wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or alkenyl may be substituted with one or more substituents selected from the group consisting of  $R^{8a}$ ;  
 wherein  $R^2$  is  $-NR^{11a}R^{11b}$ ;
- 20 wherein  $R^4$  is selected from the group consisting of cyano,  $-CO_2R^{5a}$ , and  $-CH_2OR^{5a}$ ,  $CONR^{5a}R^{5b}$ ;  
 wherein  $R^{5a}$ ,  $R^{5b}$ , and  $R^6$  are independently selected from the group consisting of hydrido, hydroxyl, alkoxy, alkyl, haloalkyl, aryl, and heteroaryl;  
 wherein  $R^{7a}$  and  $R^{7b}$  are independently selected from the group consisting  
 25 of hydrido, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, haloalkyl, aralkylamino, alkylaminoalkyl, N,N-dialkylaminoacyl, alkyl, alkenyl, alkynyl, and heteroaralkyl;

wherein R<sup>8a</sup> and R<sup>8b</sup> are independently selected from the group consisting of hydrido, alkyl, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, cycloalkyl, haloalkyl, aralkylamino, amino, aminoalkyl, aminoacyl, and heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, N-alkylamino, alkylsulfonamido, aminoalkyl, alkylaminoalkyl, alkoxy, halo, acyloxy, haloalkyl, haloalkoxy, acyl, hydroxyalkoxy, dialkylaminoacyl, thioalkyl, aminoacyloxy, alkylldioxy, hydroxyalkyl, N-alkylamino, alkoxycarbonyl, alkoxyalkyl, alkenylamino, alkynylamino, alkenyl, alkynyl, N,N-dialkylaminoalkoxy, heterocycloalkyl, heterocycloalkenyl, and heteroaryl, wherein said heterocycloalkyl, heterocycloalkenyl, or heteroaryl substituents may be substituted with a substituent selected from the group consisting of alkyl, N-alkylamino, aminoalkyl, hydroxyalkyl, and alkylaminoalkyl;

wherein R<sup>8c</sup> is selected from the group consisting of hydrido, nitro, azido, alkyl, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, cycloalkyl, haloalkyl, aralkylamino, amino, aminoalkyl, aminoacyl, and heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, N-alkylamino, alkylsulfonamido, aminoalkyl, alkylaminoalkyl, alkoxy, halo, acyloxy, haloalkyl, haloalkoxy, acyl, hydroxyalkoxy, dialkylaminoacyl, thioalkyl, aminoacyloxy, alkylldioxy, hydroxyalkyl, N-alkylamino, alkoxycarbonyl, alkoxyalkyl, alkenylamino, alkynylamino, alkenyl, alkynyl, N,N-dialkylaminoalkoxy, heterocycloalkyl, heterocycloalkenyl, and heteroaryl, wherein said heterocycloalkyl, heterocycloalkenyl, or heteroaryl substituents

may be substituted with a substituent selected from the group consisting of alkyl, N-alkylamino, aminoalkyl, hydroxyalkyl, and alkylaminoalkyl;

wherein  $R^{9a}$  and  $R^{9b}$  are independently selected from the group consisting of hydrido, alkyl, heteroaryl, heterocycloalkyl, heterocycloalkenyl, haloalkyl, aralkylamino, heteroaralkyl, aryl, and aralkyl, wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or aralkyl moieties may be substituted with one or more radicals selected from the group consisting of alkyl, alkoxy, halo, haloalkyl, cyano, haloalkoxy, acyl, carboxyl, hydroxy, hydroxyalkoxy, phenoxy, benzyloxy, N,N-dialkylaminoalkoxy, heteroaryl, heterocycloalkyl, and heterocycloalkenyl;

wherein  $R^{10}$  is selected from the group consisting of hydrido, aryl, heteroaryl, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, alkoxyalkyl, heterocycloalkyl, heteroaryl, and heterocycloalkenyl;

wherein  $R^{11a}$  and  $R^{11b}$  are independently selected from the group consisting of hydrido, aryl, heteroaryl, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, alkoxy, alkoxyalkyl, heterocycloalkyl, heteroaryl, and heterocycloalkenyl;

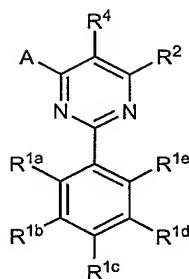
wherein  $R^2$  and  $R^4$  may form a 4- to 6-membered heterocyclic ring having 1 to 3 heteroatoms selected from the group consisting of S, SO, SO<sub>2</sub>, O, N, and NR<sup>6</sup>;

wherein  $R^{7a}$  and  $R^{7b}$  may be taken together to form a 3- to 7-membered heterocyclic moiety having 1 to 3 heteroatoms selected from the group consisting of S, SO, SO<sub>2</sub>, O, N, and NR<sup>8a</sup>; and

wherein  $R^{9a}$  and  $R^{9b}$  may be taken together to form a 3- to 7-membered heterocyclic moiety having 1 to 3 heteroatoms selected from the group consisting of S, SO, SO<sub>2</sub>, O, N, and NR<sup>8a</sup>;

or a pharmaceutically acceptable salt thereof.

## 2. A compound of Formula II



II

wherein X is aryl substituted by  $R^{1a}$ ,  $R^{1b}$ ,  $R^{1c}$ ,  $R^{1d}$ , and  $R^{1e}$ ;

wherein A is selected from the group consisting of cycloalkyl, cycloalkenyl, aryl, heterocycloalkyl, heterocycloalkenyl, and heteroaryl, wherein  
 5 A is optionally substituted by one or more substituents independently selected from the group consisting of  $R^3$ ;

wherein  $R^{1a}$ ,  $R^{1b}$ ,  $R^{1c}$ ,  $R^{1d}$ ,  $R^{1e}$ , and  $R^3$  are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, alkyl, haloalkyl, hydroxyalkyl, alkylsulfinyl, alkylsulfonyl, alkoxycarbonyl, haloalkoxy, aryl, alkenyl,  
 10 heterocycloalkyl, heterocycloalkenyl, heteroaryl, acylamino,  $-OR^{10}$ ,  $-SR^{7a}$ ,  $-SO_2N(R^{7a})R^{7b}$ ,  $-NR^{8a}R^{8b}$ ,  $-NR^{8a}COR^{8c}$ ,  $-NR^{8a}CO(OR^{8c})$ ,  $-NR^{8a}SO_2R^{9a}$ ,  $-NR^{8a}SO_2N(R^{9a})R^{9b}$ ,  $-NR^{8a}CON(R^{9a})R^{9b}$ ,  $-COR^{8a}$ ,  $-CO_2R^{7a}$ , and  $-CON(R^{7a})R^{7b}$ , wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or alkenyl may be substituted with one or more substituents selected from the group  
 15 consisting of  $R^{8a}$ ;

wherein  $R^2$  is  $-NR^{11a}R^{11b}$ ;

wherein  $R^4$  is selected from the group consisting of cyano,  $-CO_2R^{5a}$ , and  $-CH_2OR^{5a}$ ,  $CONR^{5a}R^{5b}$ ;

wherein  $R^{5a}$ ,  $R^{5b}$ , and  $R^6$  are independently selected from the group  
 20 consisting of hydrido, hydroxyl, alkoxy, alkyl, haloalkyl, aryl, and heteroaryl;

wherein  $R^{7a}$  and  $R^{7b}$  are independently selected from the group consisting of hydrido, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, haloalkyl, aralkylamino, alkylaminoalkyl, N,N-dialkylaminoacyl, alkyl, alkenyl, alkynyl, and heteroaralkyl;

wherein R<sup>8a</sup> and R<sup>8b</sup> are independently selected from the group consisting of hydrido, alkyl, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, cycloalkyl, haloalkyl, aralkylamino, amino, aminoalkyl, aminoacyl, and heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, N-alkylamino, alkylsulfonamido, aminoalkyl, alkylaminoalkyl, alkoxy, halo, acyloxy, haloalkyl, haloalkoxy, acyl, hydroxyalkoxy, dialkylaminoacyl, thioalkyl, aminoacyloxy, alkylendioxy, hydroxyalkyl, N-alkylamino, alkoxycarbonyl, alkoxyalkyl, alkenylamino, alkynylamino, alkenyl, alkynyl, N,N-dialkylaminoalkoxy, heterocycloalkyl, heterocycloalkenyl, and heteroaryl, wherein said heterocycloalkyl, heterocycloalkenyl, or heteroaryl substituents may be substituted with a substituent selected from the group consisting of alkyl, N-alkylamino, aminoalkyl, hydroxyalkyl, and alkylaminoalkyl;

wherein R<sup>8c</sup> is selected from the group consisting of hydrido, nitro, azido, alkyl, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, cycloalkyl, haloalkyl, aralkylamino, amino, aminoalkyl, aminoacyl, and heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, N-alkylamino, alkylsulfonamido, aminoalkyl, alkylaminoalkyl, alkoxy, halo, acyloxy, haloalkyl, haloalkoxy, acyl, hydroxyalkoxy, dialkylaminoacyl, thioalkyl, aminoacyloxy, alkylendioxy, hydroxyalkyl, N-alkylamino, alkoxycarbonyl, alkoxyalkyl, alkenylamino, alkynylamino, alkenyl, alkynyl, N,N-dialkylaminoalkoxy, heterocycloalkyl, heterocycloalkenyl, and heteroaryl, wherein said heterocycloalkyl, heterocycloalkenyl, or heteroaryl substituents

may be substituted with a substituent selected from the group consisting of alkyl, N-alkylamino, aminoalkyl, hydroxyalkyl, and alkylaminoalkyl;

wherein  $R^{9a}$  and  $R^{9b}$  are independently selected from the group consisting of hydrido, alkyl, heteroaryl, heterocycloalkyl, heterocycloalkenyl, haloalkyl,

5 aralkylamino, heteroaralkyl, aryl, and aralkyl, wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or aralkyl moieties may be substituted with one or more radicals selected from the group consisting of alkyl, alkoxy, halo, haloalkyl, cyano, haloalkoxy, acyl, carboxyl, hydroxy, hydroxyalkoxy, phenoxy, benzyloxy, N,N-dialkylaminoalkoxy, heteroaryl, heterocycloalkyl, and heterocycloalkenyl;

10 wherein  $R^{10}$  is selected from the group consisting of hydrido, aryl, heteroaryl, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, alkoxyalkyl, heterocycloalkyl, heteroaryl, and heterocycloalkenyl;

wherein  $R^{11a}$  and  $R^{11b}$  are independently selected from the group  
15 consisting of hydrido, aryl, heteroaryl, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, alkoxy, alkoxyalkyl, heterocycloalkyl, heteroaryl, and heterocycloalkenyl;

wherein  $R^2$  and  $R^4$  may form a 4- to 6-membered heterocyclic ring having  
20 1 to 3 heteroatoms selected from the group consisting of S, SO, SO<sub>2</sub>, O, N, and NR<sup>6</sup>;

wherein  $R^{7a}$  and  $R^{7b}$  may be taken together to form a 3- to 7-membered heterocyclic moiety having 1 to 3 heteroatoms selected from the group consisting of S, SO, SO<sub>2</sub>, O, N, and NR<sup>8a</sup>; and

wherein  $R^{9a}$  and  $R^{9b}$  may be taken together to form a 3- to 7-membered  
25 heterocyclic moiety having 1 to 3 heteroatoms selected from the group consisting of S, SO, SO<sub>2</sub>, O, N, and NR<sup>8a</sup>;

or a pharmaceutically acceptable salt thereof.

3. A compound according to claim 2 wherein:

X is C<sub>5-12</sub> aryl substituted by R<sup>1a</sup>, R<sup>1b</sup>, R<sup>1c</sup>, R<sup>1d</sup>, and R<sup>1e</sup>;

wherein A is selected from the group consisting of C<sub>3-12</sub> cycloalkyl, C<sub>3-12</sub> cycloalkenyl, C<sub>5-12</sub> aryl, 5- to 12-membered heterocycloalkyl, 5- to 12-membered heterocycloalkenyl, and 5- to 12-membered heteroaryl, wherein A is optionally substituted by one or more substituents independently selected from the group consisting of R<sup>3</sup>;

wherein R<sup>1a</sup>, R<sup>1b</sup>, R<sup>1c</sup>, R<sup>1d</sup>, R<sup>1e</sup>, and R<sup>3</sup> are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> hydroxyalkyl, C<sub>1-6</sub> alkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>2-7</sub> alkoxy carbonyl, C<sub>1-6</sub> haloalkoxy, C<sub>5-12</sub> aryl, C<sub>2-6</sub> alkenyl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, 5- to 12-membered heteroaryl, C<sub>2-10</sub> acylamino, -OR<sup>10</sup>, -SR<sup>7a</sup>, -SO<sub>2</sub>N(R<sup>7a</sup>)R<sup>7b</sup>, -NR<sup>8a</sup>R<sup>8b</sup>, -NR<sup>8a</sup>COR<sup>8c</sup>, -NR<sup>8a</sup>CO(OR<sup>8c</sup>), -NR<sup>8a</sup>SO<sub>2</sub>R<sup>9a</sup>, -NR<sup>8a</sup>SO<sub>2</sub>N(R<sup>9a</sup>)R<sup>9b</sup>, -NR<sup>8a</sup>CON(R<sup>9a</sup>)R<sup>9b</sup>, -COR<sup>8a</sup>, -CO<sub>2</sub>R<sup>7a</sup>, and -CON(R<sup>7a</sup>)R<sup>7b</sup>, wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or alkenyl moiety may be substituted with one or more substituents selected from the group consisting of R<sup>8a</sup>;

wherein R<sup>4</sup> is selected from the group consisting of cyano, -CO<sub>2</sub>R<sup>5a</sup>, and -CH<sub>2</sub>OR<sup>5a</sup>, CONR<sup>5a</sup>R<sup>5b</sup>;

wherein R<sup>5a</sup>, R<sup>5b</sup>, and R<sup>6</sup> are independently selected from the group consisting of hydrido, hydroxyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>5-12</sub> aryl, and 5- to 12-membered heteroaryl;

wherein R<sup>7a</sup> and R<sup>7b</sup> are independently selected from the group consisting of hydrido, C<sub>5-12</sub> aryl, 5- to 12-membered heteroaryl, C<sub>4-18</sub> aralkyl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, C<sub>1-6</sub> haloalkyl, C<sub>4-18</sub> aralkylamino, C<sub>2-12</sub> alkylaminoalkyl, N-N-di(C<sub>1-6</sub> alkyl)amino(C<sub>1-6</sub> alkyl), C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, and 4- to 18-membered heteroaralkyl;

wherein R<sup>8a</sup> and R<sup>8b</sup> are independently selected from the group consisting of hydrido, C<sub>1-6</sub> alkyl, C<sub>5-12</sub> aryl, 5- to 12-membered heteroaryl, C<sub>4-18</sub> aralkyl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, C<sub>3-12</sub>

cycloalkyl, C<sub>1-6</sub> haloalkyl, C<sub>4-18</sub> aralkylamino, amino, C<sub>1-6</sub> aminoalkyl, C<sub>2-10</sub> aminoacyl, and 4- to 18-membered heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkylthio, C<sub>1-6</sub> alkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, N-(C<sub>1-6</sub> alkyl)amino, C<sub>1-6</sub> alkylsulfonamido, C<sub>1-6</sub> aminoalkyl, C<sub>2-12</sub> alkylaminoalkyl, C<sub>1-6</sub> alkoxy, halo, C<sub>2-10</sub> acyloxy, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> haloalkoxy, C<sub>2-10</sub> acyl, C<sub>1-6</sub> hydroxyalkoxy, N,N-di(C<sub>1-6</sub> alkyl)amino(C<sub>2-10</sub> acyl), C<sub>1-6</sub> thioalkyl, C<sub>2-10</sub> aminoacyloxy, C<sub>1-6</sub> alkylidioxo, C<sub>1-6</sub> hydroxyalkyl, N-(C<sub>1-6</sub> alkyl)amino, C<sub>2-7</sub> alkoxycarbonyl, C<sub>2-12</sub> alkoxyalkyl, C<sub>2-6</sub> alkenylamino, C<sub>2-6</sub> alkynylamino, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, N,N-di(C<sub>1-6</sub> alkyl)amino(C<sub>1-6</sub> alkoxy), 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, and 5- to 12-membered heteroaryl, wherein said 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, or 5- to 12-membered heteroaryl moiety may be substituted with a substituent selected from the group consisting of C<sub>1-6</sub> alkyl, N-(C<sub>1-6</sub> alkyl)amino, C<sub>1-6</sub> aminoalkyl, C<sub>1-6</sub> hydroxyalkyl, and C<sub>2-12</sub> alkylaminoalkyl;

wherein R<sup>8c</sup> is selected from the group consisting of hydrido, nitro, azido, C<sub>1-6</sub> alkyl, C<sub>5-12</sub> aryl, 5- to 12-membered heteroaryl, C<sub>4-18</sub> aralkyl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, C<sub>3-12</sub> cycloalkyl, C<sub>1-6</sub> haloalkyl, C<sub>4-18</sub> aralkylamino, amino, C<sub>1-6</sub> aminoalkyl, C<sub>2-10</sub> aminoacyl, and 4- to 18-membered heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkylthio, C<sub>1-6</sub> alkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, N-(C<sub>1-6</sub> alkyl)amino, C<sub>1-6</sub> alkylsulfonamido, C<sub>1-6</sub> aminoalkyl, C<sub>2-12</sub> alkylaminoalkyl, C<sub>1-6</sub> alkoxy, halo, C<sub>2-10</sub> acyloxy, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> haloalkoxy, C<sub>2-10</sub> acyl, C<sub>1-6</sub> hydroxyalkoxy, N,N-di(C<sub>1-6</sub> alkyl)amino(C<sub>2-10</sub> acyl), C<sub>1-6</sub> thioalkyl, C<sub>2-10</sub> aminoacyloxy, C<sub>1-6</sub> alkylidioxo, C<sub>1-6</sub>

hydroxyalkyl, N-(C<sub>1-6</sub> alkyl)amino, C<sub>2-7</sub> alkoxy carbonyl, C<sub>2-12</sub> alkoxyalkyl, C<sub>2-6</sub> alkenylamino, C<sub>2-6</sub> alkynylamino, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, N,N-di(C<sub>1-6</sub> alkyl)amino(C<sub>1-6</sub> alkoxy), 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, and 5- to 12-membered heteroaryl, wherein said

5 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, or 5- to 12-membered heteroaryl moiety may be substituted with a substituent selected from the group consisting of C<sub>1-6</sub> alkyl, N-(C<sub>1-6</sub> alkyl)amino, C<sub>1-6</sub> aminoalkyl, C<sub>1-6</sub> hydroxyalkyl, and C<sub>2-12</sub> alkylaminoalkyl;

wherein R<sup>9a</sup> and R<sup>9b</sup> are independently selected from the group consisting

10 of hydrido, C<sub>1-6</sub> alkyl, 5- to 12-membered heteroaryl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, C<sub>1-6</sub> haloalkyl, C<sub>4-18</sub> aralkylamino, 4- to 18-membered heteroaralkyl, C<sub>5-12</sub> aryl, and C<sub>4-18</sub> aralkyl, wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or aralkyl moiety may be substituted with one or more radicals selected from the group

15 consisting of C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, halo, C<sub>1-6</sub> haloalkyl, cyano, C<sub>1-6</sub> haloalkoxy, C<sub>2-10</sub> acyl, carboxyl, hydroxy, C<sub>1-6</sub> hydroxyalkoxy, phenoxy, benzyloxy, N,N-di(C<sub>1-6</sub> alkyl)amino(C<sub>1-6</sub> alkoxy), 5- to 12-membered heteroaryl, 3- to 12-membered heterocycloalkyl, and 3- to 12-membered heterocycloalkenyl;

wherein R<sup>10</sup> is selected from the group consisting of hydrido, C<sub>5-12</sub> aryl, 5-

20 to 12-membered heteroaryl, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, C<sub>1-6</sub> hydroxyalkyl, C<sub>1-6</sub> aminoalkyl, C<sub>2-12</sub> alkylaminoalkyl, C<sub>2-12</sub> alkoxyalkyl, 3- to 12-membered heterocycloalkyl, 5- to 12-membered heteroaryl, and 3- to 12-membered heterocycloalkenyl;

wherein R<sup>11a</sup> and R<sup>11b</sup> are independently selected from the group

25 consisting of hydrido, C<sub>5-12</sub> aryl, 5- to 12-membered heteroaryl, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, C<sub>1-6</sub> hydroxyalkyl, C<sub>1-6</sub> aminoalkyl, C<sub>2-12</sub> alkylaminoalkyl, C<sub>1-6</sub> alkoxy, C<sub>2-12</sub> alkoxyalkyl, 3- to 12-membered heterocycloalkyl, 5- to 12-membered heteroaryl, and 3- to 12-membered heterocycloalkenyl;

wherein  $R^2$  and  $R^4$  may form a 4- to 6-membered heterocyclic ring having 1 to 3 heteroatoms selected from the group consisting of S, SO,  $SO_2$ , O, N, and  $NR^6$ ;

5 wherein  $R^{7a}$  and  $R^{7b}$  may be taken together to form a 3- to 7-membered heterocyclic moiety having 1 to 3 heteroatoms selected from the group consisting of S, SO,  $SO_2$ , O, N, and  $NR^{8a}$ ; and

wherein  $R^{9a}$  and  $R^{9b}$  may be taken together to form a 3- to 7-membered heterocyclic moiety having 1 to 3 heteroatoms selected from the group consisting of S, SO,  $SO_2$ , O, N, and  $NR^{8a}$ ;

10 or a pharmaceutically acceptable salt thereof.

4. A compound according to claim 3 wherein

X is selected from the group consisting of phenyl, biphenyl, naphthyl, and indenyl, wherein X is substituted by  $R^{1a}$ ,  $R^{1b}$ ,  $R^{1c}$ ,  $R^{1d}$ , and  $R^{1e}$ ;

15 wherein A is selected from the group consisting of cyclopentyl, cyclohexyl, cycloheptyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, phenyl, piperidiny, pyrrolidiny, pyrazolidiny, imidazolidiny, isoxazolidiny, oxazolidiny, isoindolyl, dihydroindolyl, dihydropyridiny, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, and pyridiny, benzothiophenyl, indolyl, isoquinoliny, quinoliny, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, wherein A is optionally substituted by one or more substituents independently selected from the group consisting of  $R^3$ ;

25 wherein  $R^{1a}$ ,  $R^{1b}$ ,  $R^{1c}$ ,  $R^{1d}$ ,  $R^{1e}$ , and  $R^3$  are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, methyl, ethyl, propyl, butyl, pentyl, hexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, methylsulfinyl, ethylsulfinyl, propylsulfinyl, butylsulfinyl, methylsulfonyl, ethylsulfonyl, propylsulfonyl,

- butylsulfonyl, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl, chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, phenyl, biphenyl, naphthyl, indenyl, ethenyl, propenyl, butenyl, pentenyl, piperidinyl, pyrrolidinyl,
- 5 pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, methylcarbonylamino,
- 10 ethylcarbonylamino, propylcarbonylamino, butylcarbonylamino, pentylcarbonylamino, hexylcarbonylamino, phenylcarbonylamino, benzylcarbonylamino,  $-OR^{10}$ ,  $-SR^{7a}$ ,  $-SO_2N(R^{7a})R^{7b}$ ,  $-NR^{8a}R^{8b}$ ,  $-NR^{8a}COR^{8c}$ ,  $-NR^{8a}CO(OR^{8c})$ ,  $-NR^{8a}SO_2R^{9a}$ ,  $-NR^{8a}SO_2N(R^{9a})R^{9b}$ ,  $-NR^{8a}CON(R^{9a})R^{9b}$ ,  $-COR^{8a}$ ,  $-CO_2R^{7a}$ , and  $-CON(R^{7a})R^{7b}$ , wherein said phenyl, biphenyl, naphthyl, indenyl,
- 15 piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl,
- 20 ethenyl, propenyl, butenyl, or pentenyl may be substituted with one or more substituents selected from the group consisting of  $R^{8a}$ ;

wherein  $R^4$  is selected from the group consisting of cyano,  $-CO_2R^{5a}$ , and  $-CH_2OR^{5a}$ ,  $CONR^{5a}R^{5b}$ ;

- wherein  $R^{5a}$ ,  $R^{5b}$ , and  $R^6$  are independently selected from the group
- 25 consisting of hydrido, hydroxyl, methoxy, ethoxy, propoxy, butoxy, methyl, ethyl, propyl, butyl, pentyl, hexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, and isoindoledionyl;

wherein  $R^{7a}$  and  $R^{7b}$  are independently selected from the group consisting of hydrido, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, benzyl, phenylethyl, piperidinyl, pyrrolidinyl, 5 pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, benzylamino, phenylethylamino, methylaminomethyl, 10 ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminohexyl, ethylaminohexyl, propylaminohexyl, N,N-dimethylaminomethyl, N,N-dimethylaminoethyl, N-methyl-N-ethylaminomethyl, N-methyl-N-ethylaminoethyl, N-methyl-N-propylaminomethyl, N-methyl-N-propylaminoethyl, N,N-diethylaminomethyl, N,N-diethylaminoethyl, N-ethyl-N-propylaminomethyl, N-ethyl-N-propylaminoethyl, N,N-dipropylaminomethyl, N,N-dipropylaminoethyl, methyl, ethyl, propyl, butyl, pentyl, hexyl, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl, 20 pentynyl, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolylmethyl, indolyethylethyl, isoquinolinylmethyl, isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl, pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl, pyrazolylmethyl, pyrazolylethyl, imidazolylmethyl, imidazolyethylethyl, isoxazolylmethyl, 25 isoxazolylethyl, oxazolylmethyl, oxazolylethyl, isoindoledionylmethyl, and isoindoledionylethyl;

wherein  $R^{8a}$  and  $R^{8b}$  are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, pentyl, hexyl, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl,

pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, benzyl, phenylethyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl,

5 dihydroisoxazolyl, dihydrooxazolyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, benzylamino, phenylethylamino, amino, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, aminomethylcarbonyl, aminoethylcarbonyl, aminopropylcarbonyl,

10 aminobutylcarbonyl, aminopentylcarbonyl, aminohexylcarbonyl, aminophenylcarbonyl, aminobenzylcarbonyl, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolylmethyl, indolyylethyl, isoquinolinylmethyl, isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl, pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl,

15 pyrazolylmethyl, pyrazolyeethy, imidazolylmethyl, imidazolylethyl, isoxazolylmethyl, isoxazolylethyl, oxazolylmethyl, oxazolylethyl, isoindoledionylmethyl, and isoindoledionylethyl, wherein said methyl, ethyl, propyl, butyl, pentyl, hexyl, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl,

20 pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, benzyl, or phenylethyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, methyl, ethyl, propyl, butyl,

25 pentyl, hexyl, methylthio, ethylthio, propylthio, butylthio, methylsulfinyl, ethylsulfinyl, propylsulfinyl, butylsulfinyl, methylsulfonyl, ethylsulfonyl, propylsulfonyl, butylsulfonyl, N-methylamino, N-ethylamino, N-propylamino, methylsulfonamido, ethylsulfonamido, propylsulfonamido, butylsulfonamido, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl,

methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminohexyl, ethylaminohexyl, propylaminohexyl, methoxy, ethoxy, propoxy, butoxy, chloro, fluoro, bromo, iodo, methylcarbonyloxy, ethylcarbonyloxy, propylcarbonyloxy, butylcarbonyloxy, pentylcarbonyloxy, hexylcarbonyloxy, phenylcarbonyloxy, benzylcarbonyloxy, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, methylcarbonyl, ethylcarbonyl, propylcarbonyl, butylcarbonyl, pentylcarbonyl, hexylcarbonyl, phenylcarbonyl, benzylcarbonyl, hydroxymethoxy, hydroxyethoxy, hydroxypropoxy, hydroxybutoxy, N,N-dimethylaminomethylcarbonyl, N,N-dimethylaminoethylcarbonyl, N,N-dimethylaminophenylcarbonyl, N-methyl-N-ethylaminomethylcarbonyl, N-methyl-N-ethylaminoethylcarbonyl, N-methyl-N-ethylaminophenylcarbonyl, N-methyl-N-propylaminomethylcarbonyl, N-methyl-N-propylaminoethylcarbonyl, N-methyl-N-propylaminophenylcarbonyl, N,N-diethylaminomethylcarbonyl, N,N-diethylaminoethylcarbonyl, N,N-diethylaminophenylcarbonyl, N-ethyl-N-propylaminomethylcarbonyl, N-ethyl-N-propylaminoethylcarbonyl, N-ethyl-N-propylaminophenylcarbonyl, N,N-dipropylaminomethylcarbonyl, N,N-dipropylaminoethylcarbonyl, N,N-dipropylaminophenylcarbonyl, thiomethyl, thioethyl, thiopropyl, thiobutyl, thiopentyl, thiohexyl, aminomethylcarbonyloxy, aminoethylcarbonyloxy, aminopropylcarbonyloxy, aminobutylcarbonyloxy, aminopentylcarbonyloxy, aminohexylcarbonyloxy, aminophenylcarbonyloxy, aminobenzylcarbonyloxy, methyldioxy, ethyldioxy, propyldioxy, butyldioxy, pentyldioxy, hexyldioxy, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, N-methylamino, N-ethylamino, N-propylamino, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl,

butoxycarbonyl, methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl,  
 ethoxyethyl, ethoxypropyl, propoxymethyl, propoxyethyl, propoxypropyl,  
 butoxymethyl, butoxyethyl, butoxypropyl, ethenylamino, propenylamino,  
 butenylamino, pentenylamino, ethynylamino, propynylamino, butynylamino,  
 5 pentynylamino, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl,  
 pentynyl, N,N-dimethylaminomethoxy, N,N-dimethylaminoethoxy, N-methyl-N-  
 ethylaminomethoxy, N-methyl-N-ethylaminoethoxy, N-methyl-N-  
 propylaminomethoxy, N-methyl-N-propylaminoethoxy, N,N-  
 diethylaminomethoxy, N,N-diethylaminoethoxy, N-ethyl-N-propylaminomethoxy,  
 10 N-ethyl-N-propylaminoethoxy, N,N-dipropylaminomethoxy, N,N-  
 dipropylaminoethoxy, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl,  
 isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline,  
 dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl,  
 dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl,  
 15 indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl,  
 isoxazolyl, oxazolyl, and isoindoledionyl, wherein said piperidinyl, pyrrolidinyl,  
 pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl,  
 dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl,  
 dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl,  
 20 benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl,  
 pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, or isoindoledionyl may be substituted  
 with a substituent selected from the group consisting of methyl, ethyl, propyl,  
 butyl, pentyl, hexyl, N-methylamino, N-ethylamino, N-propylamino, aminomethyl,  
 aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, hydroxymethyl,  
 25 hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl,  
 methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl,  
 ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl,  
 propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl,

methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminohexyl, ethylaminohexyl, and propylaminohexyl;

- wherein R<sup>8c</sup> is selected from the group consisting of hydrido, nitro, azido, methyl, ethyl, propyl, butyl, pentyl, hexyl, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, benzyl, phenylethyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, benzylamino, phenylethylamino, amino, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminoethyl, aminomethylcarbonyl, aminoethylcarbonyl, aminopropylcarbonyl, aminobutylcarbonyl, aminopentylcarbonyl, aminoethylcarbonyl, aminophenylcarbonyl, aminobenzylcarbonyl, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolylmethyl, indolyethylethyl, isoquinolinylmethyl, isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl, pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl, pyrazolylmethyl, pyrazolyethylethyl, imidazolylmethyl, imidazolyethylethyl, isoxazolylmethyl, isoxazolyethylethyl, oxazolylmethyl, oxazolyethylethyl, isoindoledionylmethyl, and isoindoledionylethyl, wherein said methyl, ethyl, propyl, butyl, pentyl, hexyl, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminoethyl, benzyl, or phenylethyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, methyl, ethyl, propyl, butyl, pentyl, hexyl, methylthio, ethylthio,

propylthio, butylthio, methylsulfinyl, ethylsulfinyl, propylsulfinyl, butylsulfinyl, methylsulfonyl, ethylsulfonyl, propylsulfonyl, butylsulfonyl, N-methylamino, N-ethylamino, N-propylamino, methylsulfonamido, ethylsulfonamido, propylsulfonamido, butylsulfonamido, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminoethyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methoxy, ethoxy, propoxy, butoxy, chloro, fluoro, bromo, iodo, methylcarbonyloxy, ethylcarbonyloxy, propylcarbonyloxy, butylcarbonyloxy, pentylcarbonyloxy, hexylcarbonyloxy, phenylcarbonyloxy, benzylcarbonyloxy, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, methylcarbonyl, ethylcarbonyl, propylcarbonyl, butylcarbonyl, pentylcarbonyl, hexylcarbonyl, phenylcarbonyl, benzylcarbonyl, hydroxymethoxy, hydroxyethoxy, hydroxypropoxy, hydroxybutoxy, N,N-dimethylaminomethylcarbonyl, N,N-dimethylaminoethylcarbonyl, N,N-dimethylaminophenylcarbonyl, N-methyl-N-ethylaminomethylcarbonyl, N-methyl-N-ethylaminoethylcarbonyl, N-methyl-N-ethylaminophenylcarbonyl, N-methyl-N-propylaminomethylcarbonyl, N-methyl-N-propylaminoethylcarbonyl, N-methyl-N-propylaminophenylcarbonyl, N,N-diethylaminomethylcarbonyl, N,N-diethylaminoethylcarbonyl, N,N-diethylaminophenylcarbonyl, N-ethyl-N-propylaminomethylcarbonyl, N-ethyl-N-propylaminoethylcarbonyl, N-ethyl-N-propylaminophenylcarbonyl, N,N-dipropylaminomethylcarbonyl, N,N-dipropylaminoethylcarbonyl, N,N-dipropylaminophenylcarbonyl, thiomethyl, thioethyl, thiopropyl, thiobutyl, thiopentyl, thiohexyl, aminomethylcarbonyloxy, aminoethylcarbonyloxy, aminopropylcarbonyloxy, aminobutylcarbonyloxy, aminopentylcarbonyloxy,

aminohexylcarbonyloxy, aminophenylcarbonyloxy, aminobenzylcarbonyloxy,  
 methyldioxy, ethyldioxy, propyldioxy, butyldioxy, pentyldioxy, hexyldioxy,  
 hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl,  
 hydroxyhexyl, N-methylamino, N-ethylamino, N-propylamino, methoxycarbonyl,  
 5 ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl, methoxymethyl,  
 methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, ethoxypropyl,  
 propoxymethyl, propoxyethyl, propoxypropyl, butoxymethyl, butoxyethyl,  
 butoxypropyl, ethenylamino, propenylamino, butenylamino, pentenylamino,  
 ethynylamino, propynylamino, butynylamino, pentynylamino, ethenyl, propenyl,  
 10 butenyl, pentenyl, ethynyl, propynyl, butynyl, pentynyl, N,N-  
 dimethylaminomethoxy, N,N-dimethylaminoethoxy, N-methyl-N-  
 ethylaminomethoxy, N-methyl-N-ethylaminoethoxy, N-methyl-N-  
 propylaminomethoxy, N-methyl-N-propylaminoethoxy, N,N-  
 diethylaminomethoxy, N,N-diethylaminoethoxy, N-ethyl-N-propylaminomethoxy,  
 15 N-ethyl-N-propylaminoethoxy, N,N-dipropylaminomethoxy, N,N-  
 dipropylaminoethoxy, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl,  
 isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline,  
 dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl,  
 dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl,  
 20 indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl,  
 isoxazolyl, oxazolyl, and isoindoledionyl, wherein said piperidinyl, pyrrolidinyl,  
 pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl,  
 dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl,  
 dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl,  
 25 benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl,  
 pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, or isoindoledionyl may be substituted  
 with a substituent selected from the group consisting of methyl, ethyl, propyl,  
 butyl, pentyl, hexyl, N-methylamino, N-ethylamino, N-propylamino, aminomethyl,  
 aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminoethyl, hydroxymethyl,

hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminohexyl, ethylaminohexyl, and propylaminohexyl;

wherein R<sup>9a</sup> and R<sup>9b</sup> are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, pentyl, hexyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, benzylamino, phenylethylamino, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolylmethyl, indolyylethyl, isoquinolinylmethyl, isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl, pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl, pyrazolylmethyl, pyrazolylethyl, imidazolylmethyl, imidazolylethyl, isoxazolylmethyl, isoxazolylethyl, oxazolylmethyl, oxazolylethyl, isoindoledionylmethyl, isoindoledionylethyl, phenyl, biphenyl, naphthyl, indenyl, benzyl, and phenylethyl, wherein said phenyl, biphenyl, naphthyl, indenyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, benzyl, or phenylethyl may be substituted with one or more radicals selected from the group consisting of methyl, ethyl, propyl, butyl, pentyl, hexyl, methoxy,

ethoxy, propoxy, butoxy, chloro, fluoro, bromo, iodo, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyano, chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, methylcarbonyl, ethylcarbonyl, 5 propylcarbonyl, butylcarbonyl, pentylcarbonyl, hexylcarbonyl, phenylcarbonyl, benzylcarbonyl, carboxyl, hydroxy, hydroxymethoxy, hydroxyethoxy, hydroxypropoxy, hydroxybutoxy, phenoxy, benzyloxy, N,N-dimethylaminomethoxy, N,N-dimethylaminoethoxy, N-methyl-N-ethylaminomethoxy, N-methyl-N-ethylaminoethoxy, N-methyl-N-propylaminomethoxy, N-methyl-N-propylaminoethoxy, N,N-diethylaminomethoxy, N,N-diethylaminoethoxy, N-ethyl-N-propylaminomethoxy, N-ethyl-N-propylaminoethoxy, N,N-dipropylaminomethoxy, N,N-dipropylaminoethoxy, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, 15 piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, and dihydrooxazolyl;

wherein R<sup>10</sup> is selected from the group consisting of hydrido, phenyl, 20 biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, methyl, ethyl, propyl, butyl, pentyl, hexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl, pentynyl, 25 hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl,

propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, ethoxypropyl, propoxymethyl, propoxyethyl, propoxypropyl, butoxymethyl, butoxyethyl, butoxypropyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, and dihydrooxazolyl;

wherein  $R^{11a}$  and  $R^{11b}$  are independently selected from the group consisting of hydrido, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, methyl, ethyl, propyl, butyl, pentyl, hexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl, pentynyl, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methoxy, ethoxy, propoxy, butoxy, methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, ethoxypropyl, propoxymethyl, propoxyethyl, propoxypropyl, butoxymethyl, butoxyethyl, butoxypropyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl,

isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, and dihydrooxazolyl;

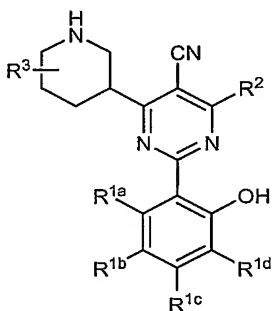
wherein  $R^2$  and  $R^4$  may form a 4- to 6-membered heterocyclic ring having 1 to 3 heteroatoms selected from the group consisting of S, SO,  $SO_2$ , O, N, and  $NR^6$ ;

wherein  $R^{7a}$  and  $R^{7b}$  may be taken together to form a 3- to 7-membered heterocyclic moiety having 1 to 3 heteroatoms selected from the group consisting of S, SO,  $SO_2$ , O, N, and  $NR^{8a}$ ; and

wherein  $R^{9a}$  and  $R^{9b}$  may be taken together to form a 3- to 7-membered heterocyclic moiety having 1 to 3 heteroatoms selected from the group consisting of S, SO,  $SO_2$ , O, N, and  $NR^{8a}$ ;

or a pharmaceutically acceptable salt thereof.

5. A compound of Formula III



III

wherein  $R^{1a}$ ,  $R^{1b}$ ,  $R^{1c}$ ,  $R^{1d}$ , and  $R^3$  are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, alkyl, haloalkyl, hydroxyalkyl, alkylsulfinyl, alkylsulfonyl, alkoxycarbonyl, haloalkoxy, aryl, alkenyl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, acylamino,  $-OR^{10}$ ,  $-SR^7$ ,  $-SO_2NHR^7$ ,  $-NHR^{8a}$ ,  $-NR^{8a}COR^{8c}$ ,  $-NR^{8a}CO(OR^{8c})$ ,  $-NR^{8a}SO_2R^9$ ,  $-NR^{8a}SO_2NHR^9$ ,  $-NR^{8a}CONHR^9$ ,  $-COR^{8a}$ ,  $-CO_2R^7$ , and  $-CONHR^7$ , wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or alkenyl may be substituted with one or more substituents selected from the group consisting of  $R^{8a}$ ;

wherein  $R^2$  is  $-NHR^{11}$ ;

wherein  $R^7$  is selected from the group consisting of hydrido, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, haloalkyl, aralkylamino, alkylaminoalkyl, N,N-dialkylaminoacyl, alkyl, alkenyl, alkynyl, and heteroaralkyl;

5        wherein  $R^{8a}$  is selected from the group consisting of hydrido, alkyl, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, cycloalkyl, haloalkyl, aralkylamino, amino, aminoalkyl, aminoacyl, and heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, 10 alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, N-alkylamino, alkylsulfonamido, aminoalkyl, alkylaminoalkyl, alkoxy, halo, acyloxy, haloalkyl, haloalkoxy, acyl, hydroxyalkoxy, dialkylaminoacyl, thioalkyl, aminoacyloxy, alkylidioxy, hydroxyalkyl, N-alkylamino, alkoxycarbonyl, alkoxyalkyl, alkenylamino, 15 alkynylamino, alkenyl, alkynyl, N,N-dialkylaminoalkoxy, heterocycloalkyl, heterocycloalkenyl, and heteroaryl, wherein said heterocycloalkyl, heterocycloalkenyl, or heteroaryl substituents may be substituted with a substituent selected from the group consisting of alkyl, N-alkylamino, aminoalkyl, hydroxyalkyl, and alkylaminoalkyl;

20        wherein  $R^{8b}$  is selected from the group consisting of hydrido, nitro, azido, alkyl, aryl, heteroaryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, cycloalkyl, haloalkyl, aralkylamino, amino, aminoalkyl, aminoacyl, and heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, 25 cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, N-alkylamino, alkylsulfonamido, aminoalkyl, alkylaminoalkyl, alkoxy, halo, acyloxy, haloalkyl, haloalkoxy, acyl, hydroxyalkoxy, dialkylaminoacyl, thioalkyl, aminoacyloxy, alkylidioxy, hydroxyalkyl, N-alkylamino, alkoxycarbonyl,

alkoxyalkyl, alkenylamino, alkynylamino, alkenyl, alkynyl, N,N-dialkylaminoalkoxy, heterocycloalkyl, heterocycloalkenyl, and heteroaryl, wherein said heterocycloalkyl, heterocycloalkenyl, or heteroaryl substituents may be substituted with a substituent selected from the group consisting of alkyl,

5 N-alkylamino, aminoalkyl, hydroxyalkyl, and alkylaminoalkyl;

wherein R<sup>9</sup> is selected from the group consisting of hydrido, alkyl, heteroaryl, heterocycloalkyl, heterocycloalkenyl, haloalkyl, aralkylamino, heteroaralkyl, aryl, and aralkyl, wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or aralkyl moieties may be substituted with one or  
 10 more radicals selected from the group consisting of alkyl, alkoxy, halo, haloalkyl, cyano, haloalkoxy, acyl, carboxyl, hydroxy, hydroxyalkoxy, phenoxy, benzyloxy, N,N-dialkylaminoalkoxy, heteroaryl, heterocycloalkyl, and heterocycloalkenyl;  
 and

wherein R<sup>10</sup> is selected from the group consisting of hydrido, aryl,  
 15 heteroaryl, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, alkoxyalkyl, heterocycloalkyl, heteroaryl, and heterocycloalkenyl;

wherein R<sup>11</sup> is selected from the group consisting of hydrido, aryl, heteroaryl, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl,  
 20 alkylaminoalkyl, alkoxy, alkoxyalkyl, heterocycloalkyl, heteroaryl, and heterocycloalkenyl;

or a pharmaceutically acceptable salt thereof.

6. A compound according to claim 5 wherein

25 R<sup>1a</sup>, R<sup>1b</sup>, R<sup>1c</sup>, R<sup>1d</sup>, and R<sup>3</sup> are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> hydroxyalkyl, C<sub>1-6</sub> alkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, C<sub>2-7</sub> alkoxycarbonyl, C<sub>1-6</sub> haloalkoxy, C<sub>5-12</sub> aryl, C<sub>2-6</sub> alkenyl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, 5- to 12-membered heteroaryl, C<sub>2-10</sub> acylamino,

-OR<sup>10</sup>, -SR<sup>7</sup>, -SO<sub>2</sub>NHR<sup>7</sup>, -NHR<sup>8a</sup>, -NR<sup>8a</sup>COR<sup>8b</sup>, -NR<sup>8a</sup>CO(OR<sup>8b</sup>), -NR<sup>8a</sup>SO<sub>2</sub>R<sup>9</sup>,  
 -NR<sup>8a</sup>SO<sub>2</sub>NHR<sup>9</sup>, -NR<sup>8a</sup>CONHR<sup>9</sup>, -COR<sup>8a</sup>, -CO<sub>2</sub>R<sup>7</sup>, and -CONHR<sup>7</sup>, wherein said aryl,  
 heterocycloalkyl, heterocycloalkenyl, heteroaryl, or alkenyl moiety may be  
 substituted with one or more substituents selected from the group consisting of  
 5 R<sup>8a</sup>;

wherein R<sup>7</sup> is selected from the group consisting of hydrido, C<sub>5-12</sub> aryl, 5- to  
 12-membered heteroaryl, C<sub>4-18</sub> aralkyl, 3- to 12-membered heterocycloalkyl, 3- to  
 12-membered heterocycloalkenyl, C<sub>1-6</sub> haloalkyl, C<sub>4-18</sub> aralkylamino, C<sub>2-12</sub>  
 alkylaminoalkyl, N,N-di(C<sub>1-6</sub> alkyl)amino(C<sub>1-6</sub> alkyl), C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub>  
 10 alkynyl, and 4- to 18-membered heteroaralkyl;

wherein R<sup>8a</sup> is selected from the group consisting of hydrido, C<sub>1-6</sub> alkyl,  
 C<sub>5-12</sub> aryl, 5- to 12-membered heteroaryl, C<sub>4-18</sub> aralkyl, 3- to 12-membered  
 heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, C<sub>3-12</sub> cycloalkyl, C<sub>1-6</sub>  
 haloalkyl, C<sub>4-18</sub> aralkylamino, amino, C<sub>1-6</sub> aminoalkyl, C<sub>2-10</sub> aminoacyl, and 4- to 18-  
 15 membered heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or  
 aralkyl may be substituted with one or more substituents selected from the group  
 consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro,  
 azido, benzyloxy, thiocyanate, isothiocyanate, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkylthio, C<sub>1-6</sub>  
 alkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, N-(C<sub>1-6</sub> alkyl)amino, C<sub>1-6</sub> alkylsulfonamido, C<sub>1-6</sub>  
 20 aminoalkyl, C<sub>2-12</sub> alkylaminoalkyl, C<sub>1-6</sub> alkoxy, halo, C<sub>2-10</sub> acyloxy, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub>  
 haloalkoxy, C<sub>2-10</sub> acyl, C<sub>1-6</sub> hydroxyalkoxy, N,N-di(C<sub>1-6</sub> alkyl)amino(C<sub>2-10</sub> acyl), C<sub>1-6</sub>  
 thioalkyl, C<sub>2-10</sub> aminoacyloxy, C<sub>1-6</sub> alkylidioxy, C<sub>1-6</sub> hydroxyalkyl, N-(C<sub>1-6</sub> alkyl)amino,  
 C<sub>2-7</sub> alkoxycarbonyl, C<sub>2-12</sub> alkoxyalkyl, C<sub>2-6</sub> alkenylamino, C<sub>2-6</sub> alkynylamino, C<sub>2-6</sub>  
 alkenyl, C<sub>2-6</sub> alkynyl, N,N-di(C<sub>1-6</sub> alkyl)amino(C<sub>1-6</sub> alkoxy), 3- to 12-membered  
 25 heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, and 5- to 12-membered  
 heteroaryl, wherein said 3- to 12-membered heterocycloalkyl, 3- to 12-  
 membered heterocycloalkenyl, or 5- to 12-membered heteroaryl moiety may be  
 substituted with a substituent selected from the group consisting of C<sub>1-6</sub> alkyl, N-  
 (C<sub>1-6</sub> alkyl)amino, C<sub>1-6</sub> aminoalkyl, C<sub>1-6</sub> hydroxyalkyl, and C<sub>2-12</sub> alkylaminoalkyl;

wherein R<sup>8b</sup> is selected from the group consisting of hydrido, nitro, azido, C<sub>1-6</sub> alkyl, C<sub>5-12</sub> aryl, 5- to 12-membered heteroaryl, C<sub>4-18</sub> aralkyl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, C<sub>3-12</sub> cycloalkyl, C<sub>1-6</sub> haloalkyl, C<sub>4-18</sub> aralkylamino, amino, C<sub>1-6</sub> aminoalkyl, C<sub>2-10</sub> aminoacyl, and 4- to 18-membered heteroaralkyl, wherein said alkyl, aryl, heteroaryl, aminoalkyl, or aralkyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkylthio, C<sub>1-6</sub> alkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, N-(C<sub>1-6</sub> alkyl)amino, C<sub>1-6</sub> alkylsulfonamido, C<sub>1-6</sub> aminoalkyl, C<sub>2-12</sub> alkylaminoalkyl, C<sub>1-6</sub> alkoxy, halo, C<sub>2-10</sub> acyloxy, C<sub>1-6</sub> haloalkyl, C<sub>1-6</sub> haloalkoxy, C<sub>2-10</sub> acyl, C<sub>1-6</sub> hydroxyalkoxy, N,N-di(C<sub>1-6</sub> alkyl)amino(C<sub>2-10</sub> acyl), C<sub>1-6</sub> thioalkyl, C<sub>2-10</sub> aminoacyloxy, C<sub>1-6</sub> alkylidioxo, C<sub>1-6</sub> hydroxyalkyl, N-(C<sub>1-6</sub> alkyl)amino, C<sub>2-7</sub> alkoxycarbonyl, C<sub>2-12</sub> alkoxyalkyl, C<sub>2-6</sub> alkenylamino, C<sub>2-6</sub> alkynylamino, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, N,N-di(C<sub>1-6</sub> alkyl)amino(C<sub>1-6</sub> alkoxy), 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, and 5- to 12-membered heteroaryl, wherein said 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, or 5- to 12-membered heteroaryl moiety may be substituted with a substituent selected from the group consisting of C<sub>1-6</sub> alkyl, N-(C<sub>1-6</sub> alkyl)amino, C<sub>1-6</sub> aminoalkyl, C<sub>1-6</sub> hydroxyalkyl, and C<sub>2-12</sub> alkylaminoalkyl;

wherein R<sup>9</sup> is selected from the group consisting of hydrido, C<sub>1-6</sub> alkyl, 5- to 12-membered heteroaryl, 3- to 12-membered heterocycloalkyl, 3- to 12-membered heterocycloalkenyl, C<sub>1-6</sub> haloalkyl, C<sub>4-18</sub> aralkylamino, 4- to 18-membered heteroaralkyl, C<sub>5-12</sub> aryl, and C<sub>4-18</sub> aralkyl, wherein said aryl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or aralkyl moiety may be substituted with one or more radicals selected from the group consisting of C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, halo, C<sub>1-6</sub> haloalkyl, cyano, C<sub>1-6</sub> haloalkoxy, C<sub>2-10</sub> acyl, carboxyl, hydroxy, C<sub>1-6</sub> hydroxyalkoxy, phenoxy, benzyloxy, N,N-di(C<sub>1-6</sub> alkyl)amino(C<sub>1-6</sub>

alkoxy), 5- to 12-membered heteroaryl, 3- to 12-membered heterocycloalkyl, and 3- to 12-membered heterocycloalkenyl;

wherein R<sup>10</sup> is selected from the group consisting of hydrido, C<sub>5-12</sub> aryl, 5- to 12-membered heteroaryl, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, C<sub>1-6</sub> hydroxyalkyl, C<sub>1-6</sub> aminoalkyl, C<sub>2-12</sub> alkylaminoalkyl, C<sub>2-12</sub> alkoxyalkyl, 3- to 12-membered heterocycloalkyl, 5- to 12-membered heteroaryl, and 3- to 12-membered heterocycloalkenyl;

wherein R<sup>11</sup> is selected from the group consisting of hydrido, C<sub>5-12</sub> aryl, 5- to 12-membered heteroaryl, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkynyl, C<sub>1-6</sub> hydroxyalkyl, C<sub>1-6</sub> aminoalkyl, C<sub>2-12</sub> alkylaminoalkyl, C<sub>1-6</sub> alkoxy, C<sub>2-12</sub> alkoxyalkyl, 3- to 12-membered heterocycloalkyl, 5- to 12-membered heteroaryl, and 3- to 12-membered heterocycloalkenyl;

or a pharmaceutically acceptable salt thereof.

7. A compound according to claim 6 wherein

R<sup>1a</sup>, R<sup>1b</sup>, R<sup>1c</sup>, R<sup>1d</sup>, and R<sup>3</sup> are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, methyl, ethyl, propyl, butyl, pentyl, hexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, methylsulfinyl, ethylsulfinyl, propylsulfinyl, butylsulfinyl, methylsulfonyl, ethylsulfonyl, propylsulfonyl, butylsulfonyl, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl, chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, phenyl, biphenyl, naphthyl, indenyl, ethenyl, propenyl, butenyl, pentenyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl,

pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, methylcarbonylamino, ethylcarbonylamino, propylcarbonylamino, butylcarbonylamino, pentylcarbonylamino, hexylcarbonylamino, phenylcarbonylamino, benzylcarbonylamino, -OR<sup>10</sup>, -SR<sup>7</sup>, -SO<sub>2</sub>NHR<sup>7</sup>, -NHR<sup>8a</sup>, -NR<sup>8a</sup>COR<sup>8b</sup>,  
 5 -NR<sup>8a</sup>CO(OR<sup>8b</sup>), -NR<sup>8a</sup>SO<sub>2</sub>R<sup>9</sup>, -NR<sup>8a</sup>SO<sub>2</sub>NHR<sup>9</sup>, -NR<sup>8a</sup>CONHR<sup>9</sup>, -COR<sup>8a</sup>, -CO<sub>2</sub>R<sup>7</sup>, and -CONHR<sup>7</sup>, wherein said phenyl, biphenyl, naphthyl, indenyl, piperidiny, pyrrolidiny, pyrazolidiny, imidazolidiny, isoxazolidiny, oxazolidiny, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridiny,  
 10 benzothiophenyl, indolyl, isoquinoliny, quinoliny, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, ethenyl, propenyl, butenyl, or pentenyl may be substituted with one or more substituents selected from the group consisting of R<sup>8a</sup>;

wherein R<sup>7</sup> is selected from the group consisting of hydrido, phenyl,  
 15 biphenyl, naphthyl, indenyl, pyridiny, benzothiophenyl, indolyl, isoquinoliny, quinoliny, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, benzyl, phenylethyl, piperidiny, pyrrolidiny, pyrazolidiny, imidazolidiny, isoxazolidiny, oxazolidiny, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl,  
 20 dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, benzylamino, phenylethylamino, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl,  
 25 ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, N,N-dimethylaminomethyl, N,N-dimethylaminoethyl, N-methyl-N-ethylaminomethyl, N-methyl-N-ethylaminoethyl, N-methyl-N-propylaminomethyl, N-methyl-N-propylaminoethyl, N,N-diethylaminomethyl, N,N-diethylaminoethyl, N-ethyl-N-

- propylaminomethyl, N-ethyl-N-propylaminoethyl, N,N-dipropylaminomethyl, N,N-dipropylaminoethyl, methyl, ethyl, propyl, butyl, pentyl, hexyl, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl, pentynyl, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolylmethyl, indolyethylethyl, isoquinolinylmethyl, isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl, pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl, pyrazolylmethyl, pyrazolyethylethyl, imidazolylmethyl, imidazolylethyl, isoxazolylmethyl, isoxazolylethyl, oxazolylmethyl, oxazolylethyl, isoindoledionylmethyl, and isoindoledionylethyl;
- 10            wherein R<sup>8a</sup> is selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, pentyl, hexyl, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, benzyl, phenylethyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl,
- 15            isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, benzylamino, phenylethylamino, amino, aminomethyl, aminoethyl, aminopropyl,
- 20            aminobutyl, aminopentyl, aminohexyl, aminomethylcarbonyl, aminoethylcarbonyl, aminopropylcarbonyl, aminobutylcarbonyl, aminopentylcarbonyl, aminohexylcarbonyl, aminophenylcarbonyl, aminobenzylcarbonyl, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolylmethyl, indolyethylethyl, isoquinolinylmethyl,
- 25            isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl, pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl, pyrazolylmethyl, pyrazolyethylethyl, imidazolylmethyl, imidazolylethyl, isoxazolylmethyl, isoxazolylethyl, oxazolylmethyl, oxazolylethyl, isoindoledionylmethyl, and isoindoledionylethyl, wherein said methyl, ethyl, propyl, butyl, pentyl, hexyl,

phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminoethyl, benzyl, or phenylethyl may be substituted with one or  
5 more substituents selected from the group consisting of oxy, formyl, cyano, carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, methyl, ethyl, propyl, butyl, pentyl, hexyl, methylthio, ethylthio, propylthio, butylthio, methylsulfinyl, ethylsulfinyl, propylsulfinyl, butylsulfinyl, methylsulfonyl, ethylsulfonyl, propylsulfonyl, butylsulfonyl, N-methylamino, N-ethylamino, N-propylamino, methylsulfonamido, ethylsulfonamido,  
10 propylsulfonamido, butylsulfonamido, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminoethyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl,  
15 propylaminopentyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methoxy, ethoxy, propoxy, butoxy, chloro, fluoro, bromo, iodo, methylcarbonyloxy, ethylcarbonyloxy, propylcarbonyloxy, butylcarbonyloxy, pentylcarbonyloxy, hexylcarbonyloxy, phenylcarbonyloxy, benzylcarbonyloxy, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, methylcarbonyl, ethylcarbonyl, propylcarbonyl, butylcarbonyl, pentylcarbonyl, hexylcarbonyl, phenylcarbonyl, benzylcarbonyl, hydroxymethoxy, hydroxyethoxy, hydroxypropoxy,  
20 hydroxybutoxy, N,N-dimethylaminomethylcarbonyl, N,N-dimethylaminoethylcarbonyl, N,N-dimethylaminophenylcarbonyl, N-methyl-N-ethylaminomethylcarbonyl, N-methyl-N-ethylaminoethylcarbonyl, N-methyl-N-ethylaminophenylcarbonyl, N-methyl-N-propylaminomethylcarbonyl, N-methyl-N-propylaminoethylcarbonyl, N-methyl-N-propylaminophenylcarbonyl, N,N-

diethylaminomethylcarbonyl, N,N-diethylaminoethylcarbonyl, N,N-diethylaminophenylcarbonyl, N-ethyl-N-propylaminomethylcarbonyl, N-ethyl-N-propylaminoethylcarbonyl, N-ethyl-N-propylaminophenylcarbonyl, N,N-dipropylaminomethylcarbonyl, N,N-dipropylaminoethylcarbonyl, N,N-dipropylaminophenylcarbonyl, thiomethyl, thioethyl, thiopropyl, thiobutyl, thiopentyl, thiohexyl, aminomethylcarbonyloxy, aminoethylcarbonyloxy, aminopropylcarbonyloxy, aminobutylcarbonyloxy, aminopentylcarbonyloxy, aminohexylcarbonyloxy, aminophenylcarbonyloxy, aminobenzylcarbonyloxy, methyldioxy, ethyldioxy, propyldioxy, butyldioxy, pentyldioxy, hexyldioxy, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, N-methylamino, N-ethylamino, N-propylamino, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl, methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, ethoxypropyl, propoxymethyl, propoxyethyl, propoxypropyl, butoxymethyl, butoxyethyl, butoxypropyl, ethenylamino, propenylamino, butenylamino, pentenylamino, ethynylamino, propynylamino, butynylamino, pentynylamino, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl, pentynyl, N,N-dimethylaminomethoxy, N,N-dimethylaminoethoxy, N-methyl-N-ethylaminomethoxy, N-methyl-N-ethylaminoethoxy, N-methyl-N-propylaminomethoxy, N-methyl-N-propylaminoethoxy, N,N-diethylaminomethoxy, N,N-diethylaminoethoxy, N-ethyl-N-propylaminomethoxy, N-ethyl-N-propylaminoethoxy, N,N-dipropylaminomethoxy, N,N-dipropylaminoethoxy, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, and isoindoledionyl, wherein said piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl,

dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, or isoindoledionyl may be substituted  
5 with a substituent selected from the group consisting of methyl, ethyl, propyl, butyl, pentyl, hexyl, N-methylamino, N-ethylamino, N-propylamino, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl,  
10 ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminohexyl, ethylaminohexyl, and propylaminohexyl;

wherein R<sup>8b</sup> is selected from the group consisting of hydrido, nitro, azido,  
15 methyl, ethyl, propyl, butyl, pentyl, hexyl, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, benzyl, phenylethyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl,  
20 dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, benzylamino, phenylethylamino, amino, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, aminomethylcarbonyl,  
25 aminoethylcarbonyl, aminopropylcarbonyl, aminobutylcarbonyl, aminopentylcarbonyl, aminohexylcarbonyl, aminophenylcarbonyl, aminobenzylcarbonyl, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolylmethyl, indolyloethyl, isoquinolinylmethyl, isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl,

pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl, pyrazolylmethyl, pyrazolylethyl, imidazolylmethyl, imidazolylethyl, isoxazolylmethyl, isoxazolylethyl, oxazolylmethyl, oxazolylethyl, isoindoledionylmethyl, and isoindoledionylethyl, wherein said methyl, ethyl, propyl, butyl, pentyl, hexyl, 5 phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, benzyl, or phenylethyl may be substituted with one or more substituents selected from the group consisting of oxy, formyl, cyano, 10 carboxyl, hydroxy, sulfamyl, phenoxy, nitro, azido, benzyloxy, thiocyanate, isothiocyanate, methyl, ethyl, propyl, butyl, pentyl, hexyl, methylthio, ethylthio, propylthio, butylthio, methylsulfinyl, ethylsulfinyl, propylsulfinyl, butylsulfinyl, methylsulfonyl, ethylsulfonyl, propylsulfonyl, butylsulfonyl, N-methylamino, N-ethylamino, N-propylamino, methylsulfonamido, ethylsulfonamido, 15 propylsulfonamido, butylsulfonamido, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, 20 propylaminopentyl, methylaminohexyl, ethylaminohexyl, propylaminohexyl, methoxy, ethoxy, propoxy, butoxy, chloro, fluoro, bromo, iodo, methylcarbonyloxy, ethylcarbonyloxy, propylcarbonyloxy, butylcarbonyloxy, pentylcarbonyloxy, hexylcarbonyloxy, phenylcarbonyloxy, benzylcarbonyloxy, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, 25 trifluoromethyl, chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy, methylcarbonyl, ethylcarbonyl, propylcarbonyl, butylcarbonyl, pentylcarbonyl, hexylcarbonyl, phenylcarbonyl, benzylcarbonyl, hydroxymethoxy, hydroxyethoxy, hydroxypropoxy, hydroxybutoxy, N,N-dimethylaminomethylcarbonyl, N,N-

dimethylaminoethylcarbonyl, N,N-dimethylaminophenylcarbonyl, N-methyl-N-ethylaminomethylcarbonyl, N-methyl-N-ethylaminoethylcarbonyl, N-methyl-N-ethylaminophenylcarbonyl, N-methyl-N-propylaminomethylcarbonyl, N-methyl-N-propylaminoethylcarbonyl, N-methyl-N-propylaminophenylcarbonyl, N,N-

5 diethylaminomethylcarbonyl, N,N-diethylaminoethylcarbonyl, N,N-diethylaminophenylcarbonyl, N-ethyl-N-propylaminomethylcarbonyl, N-ethyl-N-propylaminoethylcarbonyl, N-ethyl-N-propylaminophenylcarbonyl, N,N-dipropylaminomethylcarbonyl, N,N-dipropylaminoethylcarbonyl, N,N-dipropylaminophenylcarbonyl, thiomethyl, thioethyl, thiopropyl, thiobutyl,

10 thiopentyl, thiohexyl, aminomethylcarbonyloxy, aminoethylcarbonyloxy, aminopropylcarbonyloxy, aminobutylcarbonyloxy, aminopentylcarbonyloxy, aminohexylcarbonyloxy, aminophenylcarbonyloxy, aminobenzylcarbonyloxy, methyldioxy, ethyldioxy, propyldioxy, butyldioxy, pentyldioxy, hexyldioxy, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl,

15 hydroxyhexyl, N-methylamino, N-ethylamino, N-propylamino, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl, methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, ethoxypropyl, propoxymethyl, propoxyethyl, propoxypropyl, butoxymethyl, butoxyethyl, butoxypropyl, ethenylamino, propenylamino, butenylamino, pentenylamino,

20 ethynylamino, propynylamino, butynylamino, pentynylamino, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl, pentynyl, N,N-dimethylaminomethoxy, N,N-dimethylaminoethoxy, N-methyl-N-ethylaminomethoxy, N-methyl-N-ethylaminoethoxy, N-methyl-N-propylaminomethoxy, N-methyl-N-propylaminoethoxy, N,N-

25 diethylaminomethoxy, N,N-diethylaminoethoxy, N-ethyl-N-propylaminomethoxy, N-ethyl-N-propylaminoethoxy, N,N-dipropylaminomethoxy, N,N-dipropylaminoethoxy, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl,

dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, and isoindoledionyl, wherein said piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, 5 dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, or isoindoledionyl may be substituted with a substituent selected from the group consisting of methyl, ethyl, propyl, 10 butyl, pentyl, hexyl, N-methylamino, N-ethylamino, N-propylamino, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, 15 propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminohexyl, ethylaminohexyl, and propylaminohexyl;

wherein R<sup>9</sup> is selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, pentyl, hexyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, 20 quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, chloromethyl, 25 dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, benzylamino, phenylethylamino, pyridinylmethyl, pyridinylethyl, benzothiophenylmethyl, benzothiophenylethyl, indolylmethyl, indolyylethyl, isoquinolinylmethyl, isoquinolinylethyl, quinolinylmethyl, quinolinylethyl, thienylmethyl, thienylethyl, pyrrolylmethyl, pyrrolylethyl, furylmethyl, furylethyl,

pyrazolylmethyl, pyrazolylethyl, imidazolylmethyl, imidazolylethyl, isoxazolylmethyl, isoxazolylethyl, oxazolylmethyl, oxazolylethyl, isoindoledionylmethyl, isoindoledionylethyl, phenyl, biphenyl, naphthyl, indenyl, benzyl, and phenylethyl, wherein said phenyl, biphenyl, naphthyl, indenyl, 5 piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, dihydrooxazolyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, 10 benzyl, or phenylethyl may be substituted with one or more radicals selected from the group consisting of methyl, ethyl, propyl, butyl, pentyl, hexyl, methoxy, ethoxy, propoxy, butoxy, chloro, fluoro, bromo, iodo, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, cyano, chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, 15 difluoromethoxy, trifluoromethoxy, methylcarbonyl, ethylcarbonyl, propylcarbonyl, butylcarbonyl, pentylcarbonyl, hexylcarbonyl, phenylcarbonyl, benzylcarbonyl, carboxyl, hydroxy, hydroxymethoxy, hydroxyethoxy, hydroxypropoxy, hydroxybutoxy, phenoxy, benzyloxy, N,N-dimethylaminomethoxy, N,N-dimethylaminoethoxy, N-methyl-N-ethylaminomethoxy, N-methyl-N-ethylaminoethoxy, N-methyl-N-propylaminomethoxy, N,N-propylaminomethoxy, N-methyl-N-propylaminoethoxy, N,N-diethylaminomethoxy, N,N-diethylaminoethoxy, N-ethyl-N-propylaminomethoxy, N-ethyl-N-propylaminoethoxy, N,N-dipropylaminomethoxy, N,N-dipropylaminoethoxy, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, 25 thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, and dihydrooxazolyl;

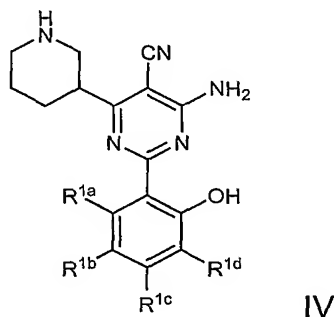
wherein R<sup>10</sup> is selected from the group consisting of hydrido, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, methyl, ethyl, propyl, butyl, pentyl, hexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl, pentynyl, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, ethoxypropyl, propoxymethyl, propoxyethyl, propoxypropyl, butoxymethyl, butoxyethyl, butoxypropyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, and dihydrooxazolyl;

wherein R<sup>11</sup> is selected from the group consisting of hydrido, phenyl, biphenyl, naphthyl, indenyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, methyl, ethyl, propyl, butyl, pentyl, hexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, ethenyl, propenyl, butenyl, pentenyl, ethynyl, propynyl, butynyl, pentynyl, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, aminomethyl, aminoethyl, aminopropyl, aminobutyl, aminopentyl,

aminohexyl, methylaminomethyl, ethylaminomethyl, propylaminomethyl, methylaminoethyl, ethylaminoethyl, propylaminoethyl, methylaminopropyl, ethylaminopropyl, propylaminopropyl, methylaminobutyl, ethylaminobutyl, propylaminobutyl, methylaminopentyl, ethylaminopentyl, propylaminopentyl, methylaminohexyl, ethylaminohexyl, propylaminohexyl, methoxy, ethoxy, propoxy, butoxy, methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, ethoxypropyl, propoxymethyl, propoxyethyl, propoxypropyl, butoxymethyl, butoxyethyl, butoxypropyl, piperidinyl, pyrrolidinyl, pyrazolidinyl, imidazolidinyl, isoxazolidinyl, oxazolidinyl, pyridinyl, benzothiophenyl, indolyl, isoquinolinyl, quinolinyl, thienyl, pyrrolyl, furyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, isoindoledionyl, isoindolyl, dihydroindolyl, isoindoline, dihydrothiophenyl, dihydropyrrolyl, dihydrofuryl, dihydropyrazolyl, dihydroimidazolyl, dihydroisoxazolyl, and dihydrooxazolyl;

or a pharmaceutically acceptable salt thereof.

8. A compound of Formula IV



wherein  $R^{1a}$ ,  $R^{1b}$ ,  $R^{1c}$ , and  $R^{1d}$  are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, alkyl, haloalkyl, hydroxyalkyl, haloalkoxy,  $-OR^{10}$ ,  $-NHR^8$ ,  $-NHCOR^8$ ,  $-NHCO(OR^8)$ ,  $-NHCONHR^9$ ,  $-COR^8$ ,  $-CO_2R^7$ , and  $-CONHR^7$ ; and

wherein  $R^7$ ,  $R^8$ ,  $R^9$ , and  $R^{10}$  are independently selected from the group consisting of hydrido, haloalkyl, alkyl, cycloalkyl, cycloalkylalkyl, and alkenyl; or a pharmaceutically acceptable salt thereof.

9. A compound according to claim 8 wherein

$R^{1a}$ ,  $R^{1b}$ ,  $R^{1c}$ , and  $R^{1d}$  are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo,  $C_{1-6}$  alkyl,  $C_{1-6}$  haloalkyl,  $C_{1-6}$  hydroxyalkyl,  $C_{1-6}$  haloalkoxy,  $-OR^{10}$ ,  $-NHR^8$ ,  $-NHCOR^8$ ,  $-NHCO(OR^8)$ ,  $-NHCONHR^9$ ,  $-COR^8$ ,  $-CO_2R^7$ , and  $-CONHR^7$ ; and

wherein  $R^7$ ,  $R^8$ ,  $R^9$ , and  $R^{10}$  are independently selected from the group consisting of hydrido,  $C_{1-6}$  haloalkyl,  $C_{1-6}$  alkyl,  $C_{3-12}$  cycloalkyl,  $C_{4-18}$  cycloalkylalkyl, and  $C_{2-6}$  alkenyl;

or a pharmaceutically acceptable salt thereof.

10. A compound according to claim 9 wherein

$R^{1a}$ ,  $R^{1b}$ ,  $R^{1c}$ , and  $R^{1d}$  are independently selected from the group consisting of hydrido, cyano, hydroxyl, nitro, halo, methyl, ethyl, propyl, butyl, pentyl, hexyl, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, hydroxymethyl, hydroxyethyl, hydroxypropyl, hydroxybutyl, hydroxypentyl, hydroxyhexyl, chloromethoxy, dichloromethoxy, trichloromethoxy, fluoromethoxy, difluoromethoxy, trifluoromethoxy,  $-OR^{10}$ ,  $-NHR^8$ ,  $-NHCOR^8$ ,  $-NHCO(OR^8)$ ,  $-NHCONHR^9$ ,  $-COR^8$ ,  $-CO_2R^7$ , and  $-CONHR^7$ ; and

wherein  $R^7$ ,  $R^8$ ,  $R^9$ , and  $R^{10}$  are independently selected from the group consisting of hydrido, chloromethyl, dichloromethyl, trichloromethyl, fluoromethyl, difluoromethyl, trifluoromethyl, methyl, ethyl, propyl, butyl, pentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclopropylethyl, cyclobutylmethyl, cyclobutylethyl, cyclopentylmethyl, cyclopentylethyl, cyclohexylmethyl, cyclohexylethyl, and ethenyl, propenyl, butenyl, and pentenyl;

or a pharmaceutically acceptable salt thereof.

11. A compound according to claim 1 selected from the group consisting of:

4-amino-2-(2,6-dihydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

5 4-amino-2-(5-chloro-2-hydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-(2-hydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

10 4-amino-2-(3,5-dichloro-2,6-dihydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-(2-hydroxy-6-methoxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-(2,5-dihydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

15 4-amino-2-(2-fluoro-6-hydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-[2-hydroxy-5-(trifluoromethyl)phenyl]-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

20 4-amino-2-[2-hydroxy-4-(trifluoromethyl)phenyl]-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-(2-hydroxy-6-propylphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile;

4-amino-2-(2-hydroxy-6-isobutylphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile;

25 4-amino-2-[2-hydroxy-6-(3-methylbutyl)phenyl]-6-piperidin-3-ylpyrimidine-5-carbonitrile;

4-amino-2-(5-bromo-2-hydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile; and

4-amino-2-(5-chloro-2-hydroxyphenyl)-6-(piperidin-3-yl)pyrimidine-5-carbonitrile;

and pharmaceutically-acceptable salts thereof.

5           12. A compound according to claim 11 selected from the group consisting of:

4-amino-2-(2,6-dihydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

10           4-amino-2-(5-chloro-2-hydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-(2-hydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-(3,5-dichloro-2,6-dihydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

15           4-amino-2-(2-hydroxy-6-methoxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-(2,5-dihydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

20           4-amino-2-(2-fluoro-6-hydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-[2-hydroxy-5-(trifluoromethyl)phenyl]-6-piperidin-3-ylpyrimidine-5-carbonitrile hydrochloride;

4-amino-2-(2-hydroxy-6-propylphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile;

25           4-amino-2-[2-hydroxy-6-(3-methylbutyl)phenyl]-6-piperidin-3-ylpyrimidine-5-carbonitrile;

4-amino-2-(5-bromo-2-hydroxyphenyl)-6-piperidin-3-ylpyrimidine-5-carbonitrile; and

4-amino-2-(5-chloro-2-hydroxyphenyl)-6-(piperidin-3-yl)pyrimidine-5-carbonitrile;

and pharmaceutically-acceptable salts thereof.

5           13.    A pharmaceutical composition comprising a compound according to any one of claims 1-12 or a pharmaceutically-acceptable salt thereof, and a pharmaceutically acceptable carrier, diluent, or adjuvant.

10           14.    Use of a compound according to any one of claims 1-12 for the preparation of a medicament for the treatment of cancer, inflammation, or an inflammation-associated disorder in a subject.

15           15.    A use according to claim 14 wherein said medicament is for the treatment of arthritis, cancer, asthma, COPD, frailty, diabetes, atherosclerosis, pain, and/or dermatological disease.